

In the Claims

1-18 (canceled).

19 (New). A method of identifying a candidate molecule for the treatment of a CNS disorder, said method comprising:

(a) contacting a D-amino acid oxidase (DAO) or D-aspartate oxidase (DDO) polypeptide or a biologically active fragment thereof with a test compound; and

(b) determining whether said compound

(i) binds to said polypeptide; or

(ii) reduces the activity of said polypeptide; and

(c) if said compound binds to said polypeptide reduces the activity of said polypeptide, administering said compound to an animal model of schizophrenia, depression or bipolar disorder, wherein a determination that said compound ameliorates a characteristic representative of CNS disorder in said animal model indicates that said compound is a candidate molecule for the treatment of a CNS disorder.

20 (New). A method of identifying a candidate molecule for the treatment of schizophrenia, depression or bipolar disorder, said method comprising:

(a) contacting a DAO or DDO polypeptide or a biologically active fragment thereof with a test compound; and

(b) determining whether said compound

(i) selectively reduces the activity of said polypeptide; or

(ii) selectively binds said polypeptide;

wherein a test compound that selectively reduces the activity of or selectively binds to said polypeptide is identified as a candidate molecule for the treatment of schizophrenia, depression or bipolar disorder.

21 (New). A method of screening for antagonists of a DAO or a DDO polypeptide, comprising the steps of:

(a) contacting a test compound with a DAO or DDO polypeptide selected from the group consisting of;

(i) a polypeptide comprising a polypeptide encoded by a nucleic acid sequence selected from the group consisting of SEQ ID NOS: 2 to 6, 19 and 20;

(ii) a polypeptide comprising a polypeptide sequence selected from the group consisting of SEQ ID NOS: 7 to 10, 21 and 22;

(b) detecting the level of DAO activity; and

(c) comparing the activity to the activity of a control test without the test compound, whereby a decrease in the level of the DAO or DDO activity over the control indicates that the test compound is an antagonist of DAO or DDO.

22 (New). A method of screening for compounds that reduce the expression of the DAO or DDO mRNA or polypeptide, comprising the steps of:

(a) incubating cells expressing a DAO or a DDO polypeptide selected from the group consisting of:

(i) a polypeptide comprising a polypeptide encoded by a nucleic acid sequence selected from the group consisting of SEQ ID NOS: 2 to 6, 19 and 20;

(ii) a polypeptide comprising a polypeptide sequence selected from the group consisting of SEQ ID NOS: 7 to 10, 21 and 22;

(I) in the presence and (II) in the absence of a test compound; and

(b) detecting the level of the DAO or DDO mRNA or polypeptide in the cells.

23 (New). A method of assessing a candidate molecule for the treatment of a CNS disorder, said method comprising:

(a) providing a test DAO-inhibitor or DDO-inhibitor compound; and

(b) administering said compound to an animal model of schizophrenia or bipolar disorder,

wherein a determination that said compound ameliorates a characteristic representative of a CNS disorder in said animal model indicates that said compound is a candidate molecule for the treatment of a CNS disorder; and alternatively one or more of the following:

- (i) wherein said compound selectively binds to said polypeptide;
- (ii) wherein said compound selectively reduces the activity of said polypeptide;
- (iii) wherein said compound reduces the oxidation or degradation of a D-amino acid selected from the group consisting of D-Met, D-Pro, D-Phe, D-Tyr, D-Ile, D-Leu, D-Ala, D-Val, D-Ser, D-Arg, D-His, D-norleucine, D-Trp, D-Ornithine, cis-4-hydroxy-D-proline, D-Thr, D-Trp-methyl ester, N-acetyl-D-Ala, D-Lys, D-Asp, D-Glu, D-Asn, D-Gln, D-Asp-dimethyl-ester and N-methyl-D-Asp.

24 (New). A method of treating an individual suffering from schizophrenia, depression or bipolar disorder comprising administering to said individual a therapeutically effective amount of a composition comprising a compound that reduces the conversion of a D-amino acid into the corresponding  $\alpha$ -keto acid, wherein the compound can be identified according to the methods of claims 19-23.

25 (New). The method according to claim 24, wherein said compound reduces the activity of a DAO or DDO polypeptide.

26 (New). The method according to claim 24, wherein said compound is capable of reducing the oxidation or degradation of D-serine.

27 (New). The method according to claim 24, wherein the compound is selected from the group consisting of:

- i. 2-oxo-3-pentynoate;
- ii. aminoguanidine or salts thereof;
- iii. benzoic acid;

- iv. sodium benzoate;
- v. 2-aminobenzoate;
- vi. 3-aminobenzoate;
- vii. 4-aminobenzoate;
- viii. methylglyoxal bis(guanyldihydrazone);
- ix. methylglyoxal bis(guanyldihydrazone) dihydrochloride;
- x. phenylglyoxal bis(guanyldihydrazone) (PhGBG);
- xi. glyoxal bis(guanyldihydrazone);
- xiii. 3-indole-acetic acid;
- xiv. indole-3-acetic acid;
- xv. indole-3-acetone;
- xvi. indole-3-acetamide;
- xvii. indole-3-acetyl-L-aspartic acid;
- xviii. indole-3-acetyl-L-alanine;
- xix. indole-3-acetyl-glycine;
- xx. indole-3-acetaldehyde sodium bisulfite;
- xxi. indole-3-carboxylic acid;
- xxii. indole-3-pyruvic acid;
- xxiii. salicylic acid;
- xxiv. salicylic acid sodium salts;
- xxv. salicylic acid potassium salts;
- xxvi. dansyl chloride;
- xxvii. dansyl fluoride;
- xxviii. dansyl glycine;
- xxix. alanine tetrazole;
- xxx. benzoic tetrazole;
- xxxi. tetrazole;
- xxxii. riboflavin 5'-pyrophosphate;
- xxxiii. D, L-propargylglycine;

- xxxiv. L-C-propargylglycine;
- xxxv. N-acetyl-DL-propargylglycine;
- xxxvi. ( $\pm$ )-sodium 3-hydroxybutyrate;
- xxxvii. trigonelline hydrochloride;
- xxxviii. N-methylnicotinate;
- xxxix. methyl 6-methylnicotinate;
- xl. ethyl 2-methylnicotinate;
- xli. kojic acid;
- xl. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;
- xl. O-(2,4-dinitrophenyl)hydroxylamine;
- xl. 2,4-dinitrophenyl glycine;
- xl. hydroxylamine hydrochloride;
- xl. methyl-p-nitrobenzenesulfonate;
- xl. aminoethylcysteine-ketimine;
- xl. 1,4-thiazine derivatives;
- xl. 4-phenyl-1,4-sulfonazan;
- l. phenothiazine;
- li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;
- lii. nifurtimox;
- lii. 3-(1-pyrrolidinylmethyl)-4-(5,6-dichloro-1-indanecarbonyl)-tetrahydro-1,4-thiazine hydrochloride;
- liv. ketimine reduced forms;
- lv. cystathionine;
- lvi. cystathionine ketimine;
- lvii. lanthionine ketimine;
- lviii. thiomorpholine-2-carboxylic acid;
- lix. thiomorpholine-2,6-dicarboxylic acid;
- lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);

- lxi. 1-chloro-1-nitroethane;
- lxii. anthranilate;
- lxiii. ethyl 2-aminobenzoate;
- lxiv. methyl 2-aminobenzoate;
- lxv. picolinate;
- lxvi. ethyl picolinate;
- lxvii. L-leucine methyl ester hydrochloride;
- lxviii. L-leucine;
- lxix. flurodinitrobenzene;
- lxx. dinitrochlorobenzene;
- lxxi. 1,2-cyclohexanedione;
- lxxii. allylglycine;
- lxxiii. 2-amino-2,4-pentadienoate;
- lxxiv. 2-hydroxy-2,4-pentadienoate;
- lxxv. 2-amino-4-keto-2-pentenoate;
- lxxvi. 2-hydroxybutyrate;
- lxxvii. sodium 2-hydroxybutyrate;
- lxxviii. N-chloro-D-leucine;
- lxxix. N-acetyl-D-leucine;
- lxxx. D-2-amino-4-methylpentanoic acid;
- lxxxi. D, L-propargylglycine;
- lxxxii. progesterone;
- lxxxiii. FAD (flavin adenine dinucleotide);
- lxxxiv. 6-OH-FAD;
- lxxxv. phenylglyoxal;
- lxxxvi. phenylglyoxal monohydrate;
- lxxxvii. cyclothionine;
- lxxxviii. alpha-alpha'-iminodipropionic;
- lxxxix. meso-diaminosuccinic acid;

- xc. thiosemicarbazide;
- xc. thiourea;
- xcii. methylthiouracil;
- xciii. sulphathiazole;
- xciv. sulfathiazole Salt;
- xcv. thiocyanate;
- xcvi. 3-methylbenzyl thiocyanate;
- xcvii. methimazole;
- xcviii. dicarboxylic hydroxyacids;
- xcix. 1,3-acetonedicarboxylic acid;
- c. D-tartaric acid;
- ci. L-tartaric acid;
- cii. D, L-tartaric acid;
- ciii. potassium tartarate;
- civ. D-malic acid;
- cv. L-malic acid;
- cvi. D, L-malic acid;
- cvi. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylalanine, phenylglycine, tyrosine, serine, aspartate, and salts thereof;
- cviii. pyruvic acid;
- cix. sodium pyruvate;
- cx. pyruvic acid methyl ester;
- cxi. phenylpyruvic acid;
- cxii. calcium phenylpyruvate;
- cxiii. phenylpyruvic acid sodium salt;
- cxiv. 4-hydroxyphenyl pyruvic acid;
- cxv. sodium alpha-ketoisovaleric acid;
- cxvi. benzoylformic acid);
- cxvii. 4-methylthio-2-oxopentanoic acid;

- cxviii. 4-methyl-2-oxopentanoic acid;
- cxix. 4-methylthio-2-oxybutanoic acid;
- cxx. 2-oxybutanoic acid;
- cxxi. D, L-alpha-hydroxybutyric acid sodium salt;
- cxxii. indole-3-pyruvic acid;
- cxxiii. cysteamine;
- cxxiv. pantetheine;
- cxxv. S-adenosylmethionine;
- cxxvi. ethyl bromopyruvate;
- cxxvii. methyl bromopyruvate;
- cxxviii. bromopyruvate; and
- cxxix. 5-S-cysteinyl dopamine.

28 (New). The method according to claim 25, wherein the compound is selected from the group consisting of:

- i. 2-oxo-3-pentynoate;
- ii. aminoguanidine or salts thereof;
- iii. benzoic acid;
- iv. sodium benzoate;
- v. 2-aminobenzoate;
- vi. 3-aminobenzoate;
- vii. 4-aminobenzoate;
- viii. methylglyoxal bis(guanylhydrazone);
- ix. methylglyoxal bis(guanylhydrazone) dihydrochloride;
- x. phenylglyoxal bis(guanylhydrazone) (PhGBG);
- xi. glyoxal bis(guanylhydrazone);
- xiii. 3-indole-acetic acid;
- xiv. indole-3-acetic acid;
- xv. indole-3-acetone;



- xvi. indole-3-acetamide;
- xvii. indole-3-acetyl-L-aspartic acid;
- xviii. indole-3-acetyl-L-alanine;
- xix. indole-3-acetylglycine;
- xx. indole-3-acetaldehyde sodium bisulfite;
- xxi. indole-3-carboxylic acid;
- xxii. indole-3-pyruvic acid;
- xxiii. salicylic acid;
- xxiv. salicylic acid sodium salts;
- xxv. salicylic acid potassium salts;
- xxvi. dansyl chloride;
- xxvii. dansyl fluoride;
- xxviii. dansyl glycine;
- xxix. alanine tetrazole;
- xxx. benzoic tetrazole;
- xxxi. tetrazole;
- xxxii. riboflavin 5'-pyrophosphate;
- xxxiii. D, L-propargylglycine;
- xxxiv. L-C-propargylglycine;
- xxxv. N-acetyl-DL-propargylglycine;
- xxxvi. ( $\pm$ )-sodium 3-hydroxybutyrate;
- xxxvii. trigonelline hydrochloride;
- xxxviii. N-methylnicotinate;
- xxxix. methyl 6-methylnicotinate;
- xl. ethyl 2-methylnicotinate;
- xli. kojic acid;
- xlii. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;
- xliii. O-(2,4-dinitrophenyl)hydroxylamine;

- xliv. 2,4-dinitrophenyl glycine;
- xliv. hydroxylamine hydrochloride;
- xlvi. methyl-p-nitrobenzenesulfonate;
- xlvi. aminoethylcysteine-ketimine;
- xlvi. 1,4-thiazine derivatives;
- xlix. 4-phenyl-1,4-sulfonazan;
- l. phenothiazine;
- li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;
- lii. nifurtimox;
- liii. 3-(1-pyrrolidinylmethyl)-4-(5,6-dichloro-1-indanecarbonyl)-tetrahydro-1,4-thiazine hydrochloride;
- liv. ketimine reduced forms;
- lv. cystathionine;
- lvi. cystathionine ketimine;
- lix. lantionine ketimine;
- lx. thiomorpholine-2-carboxylic acid;
- lix. thiomorpholine-2,6-dicarboxylic acid;
- lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);
- lxi. 1-chloro-1-nitroethane;
- lxii. anthranilate;
- lxiii. ethyl 2-aminobenzoate;
- lxiv. methyl 2-aminobenzoate;
- lxv. picolinate;
- lxvi. ethyl picolinate;
- lxvii. L-leucine methyl ester hydrochloride;
- lxviii. L-leucine;
- lxix. flurodinitrobenzene;
- lxx. dinitrochlorobenzene;
- lxxi. 1,2-cyclohexanedione;

- lxxii. allyglycine;
- lxxiii. 2-amino-2,4-pentadienoate;
- lxxiv. 2-hydroxy-2,4-pentadienoate;
- lxxv. 2-amino-4-keto-2-pentenoate;
- lxxvi. 2-hydroxybutyrate;
- lxxvii. sodium 2-hydroxybutyrate;
- lxxviii. N-chloro-D-leucine;
- lxxix. N-acetyl-D-leucine;
- lxxx. D-2-amino-4-methylpentanoic acid;
- lxxxii. D, L-propargylglycine;
- lxxxii. progesterone;
- lxxxiii. FAD (flavin adenine dinucleotide);
- lxxxiv. 6-OH-FAD;
- lxxxv. phenylglyoxal;
- lxxxvi. phenylglyoxal monohydrate;
- lxxxvii. cyclothionine;
- lxxxviii. alpha-alpha'-iminodipropionic;
- lxxxix. meso-diaminosuccinic acid;
- xc. thiosemicarbazide;
- xc. thiourea;
- xcii. methylthiouracil;
- xciii. sulphathiazole;
- xciv. sulfathiazole Salt;
- xcv. thiocyanate;
- xcvi. 3-methylbenzyl thiocyanate;
- xcvii. methimazole;
- xcviii. dicarboxylic hydroxyacids;
- xcix. 1,3-acetonedicarboxylic acid;
- c. D-tartaric acid;

- ci. L-tartaric acid;
- cii. D, L-tartaric acid;
- ciii. potassium tartarate;
- civ. D-malic acid;
- cv. L-malic acid;
- cvi. D, L-malic acid;
- cvii. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylalanine, phenylglycine, tyrosine, serine, aspartate, and salts thereof;
- cviii. pyruvic acid;
- cix. sodium pyruvate;
- cx. pyruvic acid methyl ester;
- cxi. phenylpyruvic acid;
- cxii. calcium phenylpyruvate;
- cxiii. phenylpyruvic acid sodium salt;
- cxiv. 4-hydroxyphenyl pyruvic acid;
- cxv. sodium alpha-ketoisovaleric acid;
- cxvi. benzoylformic acid);
- cxvii. 4-methylthio-2-oxopentanoic acid;
- cxviii. 4-methyl-2-oxopentanoic acid;
- cxix. 4-methylthio-2-oxybutanoic acid;
- cxx. 2-oxybutanoic acid;
- cxxi. D, L-alpha-hydroxybutyric acid sodium salt;
- cxxii. indole-3-pyruvic acid;
- cxxiii. cysteamine;
- cxxiv. pantetheine;
- cxxv. S-adenosylmethionine;
- cxxvi. ethyl bromopyruvate;
- cxxvii. methyl bromopyruvate;
- cxxviii. bromopyruvate; and

cxix. 5-S-cysteinyl dopamine.

29 (New). The method according to claim 26, wherein the compound is selected from the group consisting of:

- i. 2-oxo-3-pentynoate;
- ii. aminoguanidine or salts thereof;
- iii. benzoic acid;
- iv. sodium benzoate;
- v. 2-aminobenzoate;
- vi. 3-aminobenzoate;
- vii. 4-aminobenzoate;
- viii. methylglyoxal bis(guanylhydrazone);
- ix. methylglyoxal bis(guanylhydrazone) dihydrochloride;
- x. phenylglyoxal bis(guanylhydrazone) (PhGBG);
- xi. glyoxal bis(guanylhydrazone);
- xiii. 3-indole-acetic acid;
- xiv. indole-3-acetic acid;
- xv. indole-3-acetone;
- xvi. indole-3-acetamide;
- xvii. indole-3-acetyl-L-aspartic acid;
- xviii. indole-3-acetyl-L-alanine;
- xix. indole-3-acetyl glycine;
- xx. indole-3-acetaldehyde sodium bisulfite;
- xxi. indole-3-carboxylic acid;
- xxii. indole-3-pyruvic acid;
- xxiii. salicylic acid;
- xxiv. salicylic acid sodium salts;
- xxv. salicylic acid potassium salts;
- xxvi. dansyl chloride;

- xxvii. dansyl fluoride;
- xxviii. dansyl glycine;
- xxix. alanine tetrazole;
- xxx. benzoic tetrazole;
- xxxi. tetrazole;
- xxxii. riboflavin 5'-pyrophosphate;
- xxxiii. D, L-propargylglycine;
- xxxiv. L-C-propargylglycine;
- xxxv. N-acetyl-DL-propargylglycine;
- xxxvi. ( $\pm$ )-sodium 3-hydroxybutyrate;
- xxxvii. trigonelline hydrochloride;
- xxxviii. N-methylnicotinate;
- xxxix. methyl 6-methylnicotinate;
- xl. ethyl 2-methylnicotinate;
- xli. kojic acid;
- xl. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;
- xl. O-(2,4-dinitrophenyl)hydroxylamine;
- xliv. 2,4-dinitrophenyl glycine;
- xl. hydroxylamine hydrochloride;
- xlvi. methyl-p-nitrobenzenesulfonate;
- xl. aminoethylcysteine-ketimine;
- xl. 1,4-thiazine derivatives;
- xl. 4-phenyl-1,4-sulfonazan;
- l. phenothiazine;
- li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;
- lii. nifurtimox;
- lii. 3-(1-pyrrolidinylmethyl)-4-(5,6-dichloro-1-indanecarbonyl)-tetrahydro-1,4-thiazine hydrochloride;

- liv. ketimine reduced forms;
- lv. cystathionine;
- lvi. cystathionine ketimine;
- lxi. lanthionine ketimine;
- lxii. thiomorpholine-2-carboxylic acid;
- lix. thiomorpholine-2,6-dicarboxylic acid;
- lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);
- lxi. 1-chloro-1-nitroethane;
- lxii. anthranilate;
- lxiii. ethyl 2-aminobenzoate;
- lxiv. methyl 2-aminobenzoate;
- lxv. picolinate;
- lxvi. ethyl picolinate;
- lxvii. L-leucine methyl ester hydrochloride;
- lxviii. L-leucine;
- lxix. flurodinitrobenzene;
- lxx. dinitrochlorobenzene;
- lxxi. 1,2-cyclohexanedione;
- lxxii. allyglycine;
- lxxiii. 2-amino-2,4-pentadienoate;
- lxxiv. 2-hydroxy-2,4-pentadienoate;
- lxxv. 2-amino-4-keto-2-pentenoate;
- lxxvi. 2-hydroxybutyrate;
- lxxvii. sodium 2-hydroxybutyrate;
- lxxviii. N-chloro-D-leucine;
- lxxix. N-acetyl-D-leucine;
- lxxx. D-2-amino-4-methylpentanoic acid;
- lxxxi. D, L-propargylglycine;
- lxxxii. progesterone;

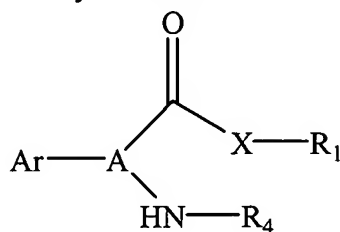
- lxxxiii. FAD (flavin adenine dinucleotide);
- lxxxiv. 6-OH-FAD;
- lxxxv. phenylglyoxal;
- lxxxvi. phenylglyoxal monohydrate;
- lxxxvii. cyclothionine;
- lxxxviii. alpha-alpha'-iminodipropionic;
- lxxxix. meso-diaminosuccinic acid;
- xc. thiosemicarbazide;
- xc. thiourea;
- xcii. methylthiouracil;
- xciii. sulphathiazole;
- xciv. sulfathiazole Salt;
- xcv. thiocyanate;
- xcvi. 3-methylbenzyl thiocyanate;
- xcvii. methimazole;
- xcviii. dicarboxylic hydroxyacids;
- xcix. 1,3-acetonedicarboxylic acid;
- c. D-tartaric acid;
- ci. L-tartaric acid;
- cii. D, L-tartaric acid;
- ciii. potassium tartarate;
- civ. D-malic acid;
- cv. L-malic acid;
- cvi. D, L-malic acid;
- cvi. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylalanine, phenylglycine, tyrosine, serine, aspartate, and salts thereof;
- cviii. pyruvic acid;
- cix. sodium pyruvate;
- cx. pyruvic acid methyl ester;



- cx. phenylpyruvic acid;
- cxii. calcium phenylpyruvate;
- cxiii. phenylpyruvic acid sodium salt;
- cxiv. 4-hydroxyphenyl pyruvic acid;
- cxv. sodium alpha-ketoisovaleric acid;
- cxvi. benzoylformic acid);
- cxvii. 4-methylthio-2-oxopentanoic acid;
- cxviii. 4-methyl-2-oxopentanoic acid;
- cxix. 4-methylthio-2-oxybutanoic acid;
- cxx. 2-oxybutanoic acid;
- cxxi. D, L-alpha-hydroxybutyric acid sodium salt;
- cxxii. indole-3-pyruvic acid;
- cxxiii. cysteamine;
- cxxiv. pantetheine;
- cxxv. S-adenosylmethionine;
- cxxvi. ethyl bromopyruvate;
- cxxvii. methyl bromopyruvate;
- cxxviii. bromopyruvate; and
- cxxix. 5-S-cysteinyl dopamine.

30 (New). The method according to claim 19, 20, 21, 22, or 23, wherein said test compound is:

- (1) a compound represented by the structure:

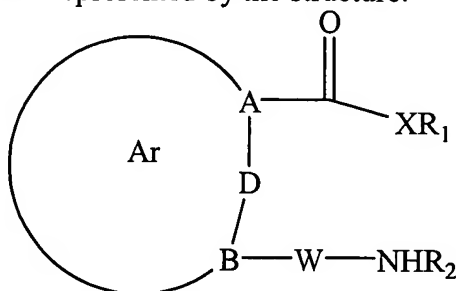


or pharmaceutically acceptable salts thereof, wherein:

- a) A is alkyl; branched chain alkyl; or cycloalkyl, any of which can be substituted with C<sub>1</sub>-C<sub>6</sub> alkyl, halo, hydroxyl or amino;
- b) X is O or N;
- c) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to five position(s) with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub> COR<sub>3</sub>, --NR<sub>3</sub> COOR<sub>3</sub>, --SO<sub>2</sub> NR<sub>2</sub> R<sub>3</sub>, --N(R<sub>2</sub>) SO<sub>2</sub> R<sub>3</sub>, --NR<sub>2</sub> CONR<sub>2</sub> R<sub>2</sub>, --SO<sub>2</sub> NHCOR<sub>2</sub>, --CONHSO<sub>2</sub> R<sub>2</sub>, --SO<sub>2</sub> NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, N<sub>3</sub> or a combination thereof and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- d) R<sub>4</sub> is H, alkyl, Ar<sup>1</sup>, O, or a substituted alkyl;
- e) R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylmethyl, or a substituted alkyl;
- f) R<sub>2</sub> and R<sub>3</sub> are each independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and

wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (2) a compound represented by the structure:

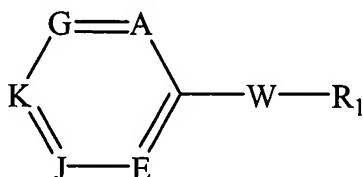


or pharmaceutically acceptable salts thereof, wherein:

- a) A and B are carbon or nitrogen and D has 0-2 members that are carbon or nitrogen;
- b) W is (CH<sub>2</sub>)<sub>n</sub> or a branched chain alkyl, wherein n is 0-4 and when n=0 NHR<sub>2</sub> is covalently bound to B;
- c) X is O or N;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e) R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylmethyl, or substituted alkyl;
- f) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to six position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 3-7

members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (3) a compound represented by the structure:

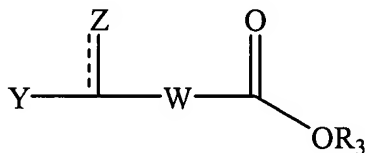


or pharmaceutically acceptable salts thereof, wherein:

- a) A, G, K, J, E are members of a six membered carbon or heterocyclic aromatic ring, wherein the heterocyclic ring contains 1-6 atom(s) selected from the group consisting of C, N and a combination thereof;
- b) A, G, K, J, E may each independently be unsubstituted or substituted with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- c) R<sub>1</sub> is CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, SCN, COCO<sub>2</sub>H, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;

- d) W is N,  $(CH_2)_x$ , or  $-NCH_2$ ;
- e)  $x=0-4$ ;
- f)  $n=0-2$ ;
- g)  $R_2$  and  $R_3$  are each, independently, hydrogen,  $C_1-C_6$  straight or branched chain alkyl or alkenyl, or  $C_1-C_6$  branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate,  $Ar^1$ , or  $N_3$ ; and
- h)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1-C_6$  straight or branched chain alkyl or alkenyl,  $C_1-C_4$  alkoxy,  $C_1-C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (4) a compound represented by the structure:



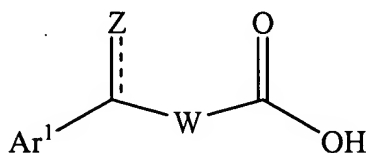
or pharmaceutically acceptable salts thereof, wherein:

- a)  $W=(CH_2)_n$ ;
- b)  $n=0-5$ ;
- c) Z is oxygen or hydroxyl;
- d)  $Y= H, Ar^1, R_4 (CH_2)_x, R_1S(CH_2)_x\text{--}, R_1SO(CH_2)_x\text{--}, R_1SO_2(CH_2)_x\text{--}, R_1SO_3(CH_2)_x\text{--}, HNR_1SO_2(CH_2)_x\text{--}, R_1R_2N(CH_2)_x, R_1O(CH_2)\text{--}, CF_3$ , or  $OH$ ;
- e)  $x=0-6$ ;
- f)  $R_1, R_2$  and  $R_3$  are each independently hydrogen,  $C_1-C_6$  straight or branched chain alkyl or  $C_1-C_6$  branched or straight chain alkyl substituted with one or

more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or  $\text{Ar}^1$ ;

- g)  $\text{R}_4$  is a halogen, CN,  $\text{N}_3$ ,  $\text{C}_1$ - $\text{C}_6$  straight or branched chain alkyl or  $\text{C}_1$ - $\text{C}_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, phosphate,  $\text{Ar}^1$ ,  $-\text{COR}_1$ ,  $-\text{COOR}_1$ ,  $\text{CONR}_1\text{R}_2$ , CN,  $-\text{NR}_1$ ,  $-\text{NR}_1\text{R}_2$ ,  $-\text{SR}_1$ ,  $-\text{SO}_2\text{NHCN}$ , or  $\text{N}_3$ ; and
- h)  $\text{Ar}^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $\text{C}_1$ - $\text{C}_6$  straight or branched chain alkyl or alkenyl,  $\text{C}_1$ - $\text{C}_4$  alkoxy,  $\text{C}_1$ - $\text{C}_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (5) a compound represented by the structure:

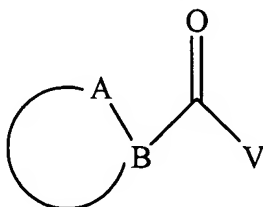


or pharmaceutically acceptable salts thereof, wherein:

- a) Y is  $\text{Ar}^1$ ;
- b) Z is a carbonyl or hydroxyl;
- c) W is  $(\text{CH}_2)_n$  wherein  $n = 0, 1$ , or  $2$ ; and
- d)  $\text{Ar}^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $\text{C}_1$ - $\text{C}_6$  straight or branched chain alkyl or alkenyl,  $\text{C}_1$ - $\text{C}_4$  alkoxy,  $\text{C}_1$ - $\text{C}_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and

wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (6) a compound represented by the structure:

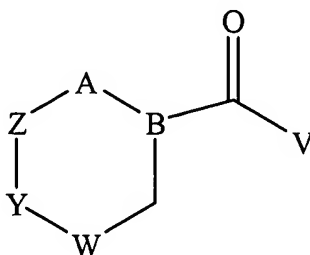


or pharmaceutically acceptable salts thereof, wherein:

- a) A and B taken together, form a 5-8 membered saturated or partially unsaturated heterocyclic ring containing at least one additional O, S, SO, SO<sub>2</sub>, NH, or NR<sup>1</sup> heteroatom in any chemically stable oxidation state;
- b) V is O, OR<sub>1</sub>, NR<sub>2</sub>, NR<sub>1</sub>R<sub>2</sub>, CHR<sub>1</sub>R<sub>2</sub>, CH<sub>2</sub>R<sub>3</sub>, CHR<sub>3</sub>R<sub>4</sub>, or CH<sub>2</sub>N<sub>3</sub>;
- c) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, or Ar<sup>1</sup>;
- d) R<sub>3</sub> and R<sub>4</sub> are either halogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, CONR<sub>1</sub>R<sub>2</sub>, CN, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, SR<sub>1</sub>, SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and

wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (7) a compound represented by the structure:



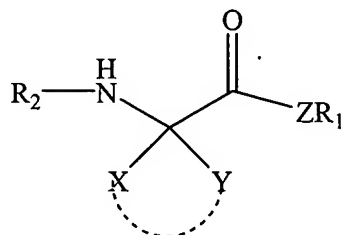
or pharmaceutically acceptable salts thereof, wherein:

- a) W-Y-Z-A-B comprise a six membered saturated or partially saturated carbocyclic or heterocyclic ring, wherein the heterocyclic ring contains heteroatom(s) selected from the group consisting of -O, N, S, and any combination thereof;
- b) B is either C, CH, or N;
- c) A, W, Y, Z are each independently CH<sub>2</sub>, CHR<sub>3</sub>, CR<sub>3</sub>R<sub>4</sub>, O, S, SO, SO<sub>2</sub>, NH, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, or C=O;
- d) V is O, OR<sub>1</sub>, NR<sub>2</sub>, NR<sub>1</sub>R<sub>2</sub>, CHR<sub>1</sub>R<sub>2</sub>, CH<sub>2</sub>R<sub>3</sub>, CHR<sub>3</sub>R<sub>3</sub> or CH<sub>2</sub>N<sub>3</sub>;
- e) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or Ar<sup>1</sup>;
- f) R<sub>3</sub> and R<sub>4</sub> are each independently halogen, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, --CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, N<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, --CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, or N<sub>3</sub>; and



- g)  $\text{Ar}^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $\text{C}_1\text{-C}_6$  straight or branched chain alkyl or alkenyl,  $\text{C}_1\text{-C}_4$  alkoxy,  $\text{C}_1\text{-C}_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

- (8) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- Z is O or NH;
- $\text{R}^1$  is  $\text{C}_1\text{-C}_6$  alkyl,  $\text{Ar}^1$ , or  $\text{C}_1\text{-C}_4$  alkoxy carbonylmethyl;
- X, Y, independently of one another, are H,  $\text{Ar}^1$ ,  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_2\text{-C}_6$  alkenyl,  $\text{C}_1\text{-C}_6$  haloalkyl, or halogen,

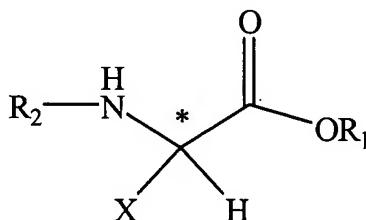
wherein said  $\text{C}_1\text{-C}_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $\text{C}_1\text{-C}_3$  alkyl once or several times and

when X and Y are each carbon, they may be covalently joined to form a saturated or partially unsaturated cyclic compound of 3-8 members consisting independently of C, N, O, and S, further wherein ring members may themselves be unsubstituted or substituted with halo, hydroxyl, carboxy, nitro, trifluoromethyl,  $\text{C}_1\text{-C}_6$  straight or branched chain alkyl or alkenyl,

C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, substituted alkyl, Ar<sup>1</sup>, or a combination thereof;

- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

- (9) a compound represented by the structure:

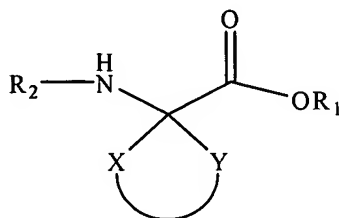


or pharmaceutically acceptable salts thereof, wherein:

- a) \* = asymmetric center;
- b) R<sup>1</sup> = C<sub>1</sub>-C<sub>6</sub> alkyl, Ar<sup>1</sup>, or C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylmethyl;
- c) X is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, or Ar<sup>1</sup>, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a

combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

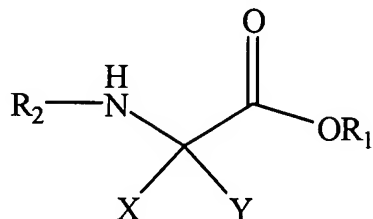
- (10) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a) X and Y are each carbon;
- b) X and Y are connected by a saturated or partially saturated ring of 3-8 carbons and such a ring may itself be substituted in one to five position(s) with halo, hydroxyl, carboxy, amino, nitro, cyano, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, or substituted alkyl groups;
- c) R<sup>1</sup> = C<sub>1</sub>-C<sub>6</sub> alkyl, Ar<sup>1</sup>, or C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylmethyl;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

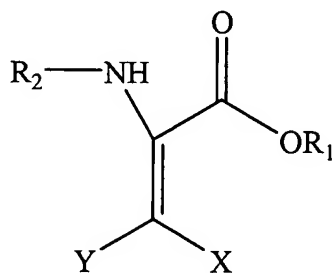
- (11) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- X, Y, independently of one another, are H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times;
- R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof; or

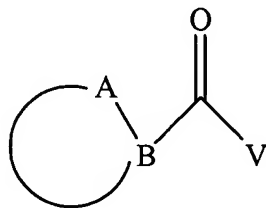
- (12) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

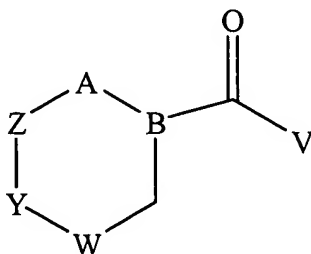
- a)  $R^1 = C_1-C_6$  alkyl,  $Ar^1$ , or  $C_1-C_4$  alkoxy carbonylmethyl;
- b)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl;
- c) Y is H,  $Ar^1$ ,  $C_1-C_6$  alkyl,  $C_2-C_6$  alkenyl,  $C_1-C_6$  haloalkyl, or halogen, wherein said  $C_1-C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1-C_3$  alkyl once or several times; and
- d) X is alkyl or phenyl.

31 (New). The method according to claim 30, wherein said compound represented by the structure:



is cystathionine ketimine or cyclothionine.

32 (New). The method according to claim 30, wherein said compound represented by the structure:

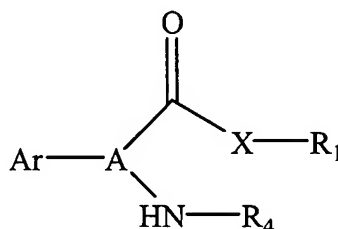


is selected from the group consisting of: aminoethylcysteine-ketimine (2H-1,4-thiazine-5,6-dihydro-3-carboxylic acid), thiomorpholine-2-carboxylic acid, lanthionine ketimine, and 1,4-thiomorpholine-3, 5-dicarboxylic acid.

33 (New). A method of treating a central nervous system disorder comprising the administration of a therapeutically effective amount of a compositions comprising a carrier and a compound capable reducing the conversion of a D-amino acid into the corresponding  $\alpha$ -keto acid.

34 (New). The method according to claim 33, wherein said compound is selected from the group consisting of:

- (1) a compound represented by the structure:



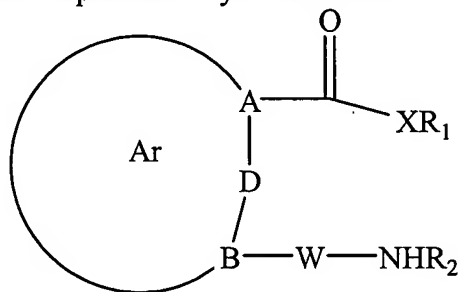
or pharmaceutically acceptable salts thereof, wherein:

- A is alkyl; branched chain alkyl; or cycloalkyl, any of which can be substituted with C<sub>1</sub>-C<sub>6</sub> alkyl, halo, hydroxyl or amino;
- X is O or N;
- Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to five position(s) with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub> COR<sub>3</sub>, --NR<sub>3</sub> COOR<sub>3</sub>, --SO<sub>2</sub> NR<sub>2</sub> R<sub>3</sub>, --N(R<sub>2</sub>) SO<sub>2</sub> R<sub>3</sub>, --NR<sub>2</sub> CONR<sub>2</sub> R<sub>2</sub>, --SO<sub>2</sub> NHCOR<sub>2</sub>, --CONHSO<sub>2</sub> R<sub>2</sub>, --SO<sub>2</sub> NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, N<sub>3</sub> or a combination thereof and wherein the heterocyclic ring contains 1-6

heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- d)  $R_4$  is H; alkyl,  $Ar^1$ , O, or a substituted alkyl;
- e)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ ,  $C_1$ - $C_4$  alkoxy carbonylmethyl, or a substituted alkyl;
- f)  $R_2$  and  $R_3$  are each independently, hydrogen,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl, or  $C_1$ - $C_6$  branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate,  $Ar^1$ , or  $N_3$ ; and
- g)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (2) a compound represented by the structure:

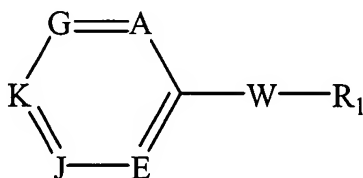


or pharmaceutically acceptable salts thereof, wherein:

- a) A and B are carbon or nitrogen and D has 0-2 members that are carbon or nitrogen;
- b) W is  $(CH_2)_n$  or a branched chain alkyl, wherein n is 0-4 and when n=0  $NHR_2$  is covalently bound to B;
- c) X is O or N;

- d)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl;
- e)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ ,  $C_1$ - $C_4$  alkoxy carbonylmethyl, or substituted alkyl;
- f) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to six position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino,  $C_3$ - $C_6$  cycloalkyl or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof; and
- g)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino,  $C_3$ - $C_6$  cycloalkyl or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (3) a compound represented by the structure:



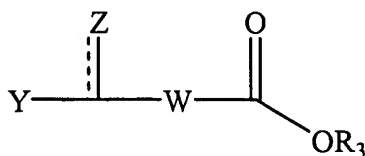
or pharmaceutically acceptable salts thereof, wherein:

- a) A, G, K, J, E are members of a six membered carbon or heterocyclic aromatic ring, wherein the heterocyclic ring contains 1-6 atom(s) selected from the group consisting of C, N and a combination thereof;
- b) A, G, K, J, E may each independently be unsubstituted or substituted with hydrogen, halogen, hydroxyl, -CN,  $COR_2$ ,  $--CONR_2R_3$ ,  $--S(O)_nR_2$ ,  $--OPO(OR_2)OR_3$ ,  $--PO(OR_3)R_3$ ,  $--OC(O)NR_2R_3$ ,  $--COOR_2$ ,  $--CONR_2R_3$ ,



- SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- c) R<sub>1</sub> is CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, SCN, COCO<sub>2</sub>H, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- d) W is N, (CH<sub>2</sub>)<sub>x</sub>, or -NCH<sub>2</sub>;
- e) x=0-4;
- f) n=0-2;
- g) R<sub>2</sub> and R<sub>3</sub> are each, independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- h) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

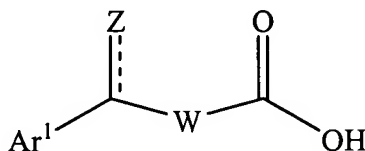
- (4) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a)  $\text{W} = (\text{CH}_2)_n$ ;
- b)  $n = 0-5$ ;
- c) Z is oxygen or hydroxyl;
- d)  $\text{Y} = \text{H}, \text{Ar}^1, \text{R}_4, (\text{CH}_2)_x, \text{R}_1\text{S}(\text{CH}_2)_x--, \text{R}_1\text{SO}(\text{CH}_2)_x--, \text{R}_1\text{SO}_2(\text{CH}_2)_x--, \text{R}_1\text{SO}_3(\text{CH}_2)_x--, \text{HNR}_1\text{SO}_2(\text{CH}_2)_x--, \text{R}_1\text{R}_2\text{N}(\text{CH}_2)_x, \text{R}_1\text{O}(\text{CH}_2)--, \text{CF}_3, \text{or OH}$ ;
- e)  $x = 0-6$ ;
- f)  $\text{R}_1, \text{R}_2$  and  $\text{R}_3$  are each independently hydrogen,  $\text{C}_1\text{-C}_6$  straight or branched chain alkyl or  $\text{C}_1\text{-C}_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or  $\text{Ar}^1$ ;
- g)  $\text{R}_4$  is a halogen, CN,  $\text{N}_3$ ,  $\text{C}_1\text{-C}_6$  straight or branched chain alkyl or  $\text{C}_1\text{-C}_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, phosphate,  $\text{Ar}^1$ ,  $--\text{COR}_1$ ,  $--\text{COOR}_1$ ,  $\text{CONR}_1\text{R}_2$ , CN,  $--\text{NR}_1$ ,  $--\text{NR}_1\text{R}_2$ ,  $--\text{SR}_1$ ,  $--\text{SO}_2\text{NHCN}$ , or  $\text{N}_3$ ; and
- h)  $\text{Ar}^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $\text{C}_1\text{-C}_6$  straight or branched chain alkyl or alkenyl,  $\text{C}_1\text{-C}_4$  alkoxy,  $\text{C}_1\text{-C}_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

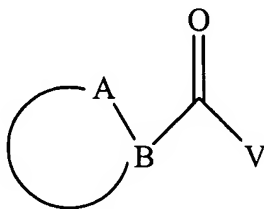
- (5) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- Y is Ar<sup>1</sup>;
- Z is a carbonyl or hydroxyl;
- W is (CH<sub>2</sub>)<sub>n</sub> wherein n = 0, 1, or 2; and
- Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (6) a compound represented by the structure:

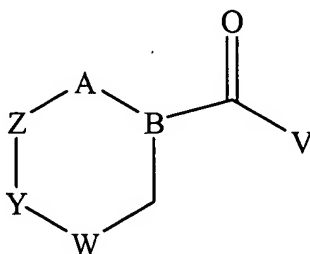


or pharmaceutically acceptable salts thereof, wherein:

- A and B taken together, form a 5-8 membered saturated or partially unsaturated heterocyclic ring containing at least one additional O, S, SO, SO<sub>2</sub>, NH, or NR<sup>1</sup> heteroatom in any chemically stable oxidation state;
- V is O, OR<sub>1</sub>, NR<sub>2</sub>, NR<sub>1</sub>R<sub>2</sub>, CHR<sub>1</sub>R<sub>2</sub>, CH<sub>2</sub>R<sub>3</sub>, CHR<sub>3</sub>R<sub>4</sub>, or CH<sub>2</sub>N<sub>3</sub>;

- c)  $R_1$  and  $R_2$  are independently hydrogen,  $C_1$ - $C_6$  straight or branched chain alkyl or  $C_1$ - $C_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, or  $Ar^1$ ;
- d)  $R_3$  and  $R_4$  are either halogen,  $C_1$ - $C_6$  straight or branched chain alkyl or  $C_1$ - $C_6$  branched or straight chain alkyl substituted with one or more hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate,  $Ar^1$ ,  $--OC(O)R_1$ ,  $--COOR_1$ ,  $CONR_1R_2$ ,  $CN$ ,  $NR_1$ ,  $NR_1R_2$ ,  $SR_1$ ,  $SO_2NHCN$ , or  $N_3$ ; and
- e)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (7) a compound represented by the structure:

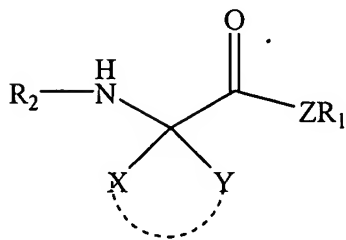


or pharmaceutically acceptable salts thereof, wherein:

- a) W-Y-Z-A-B comprise a six membered saturated or partially saturated carbocyclic or heterocyclic ring, wherein the heterocyclic ring contains heteroatom(s) selected from the group consisting of -O, N, S, and any combination thereof;
- b) B is either C, CH, or N;

- c) A, W, Y, Z are each independently  $\text{CH}_2$ ,  $\text{CHR}_3$ ,  $\text{CR}_3\text{R}_4$ , O, S, SO,  $\text{SO}_2$ , NH,  $\text{NR}_1$ ,  $\text{NR}_1\text{R}_2$ , or  $\text{C}=\text{O}$ ;
- d) V is O,  $\text{OR}_1$ ,  $\text{NR}_2$ ,  $\text{NR}_1\text{R}_2$ ,  $\text{CHR}_1\text{R}_2$ ,  $\text{CH}_2\text{R}_3$ ,  $\text{CHR}_3\text{R}_3$  or  $\text{CH}_2\text{N}_3$ ;
- e)  $\text{R}_1$  and  $\text{R}_2$  are independently hydrogen,  $\text{C}_1$ - $\text{C}_6$  straight or branched chain alkyl or  $\text{C}_1$ - $\text{C}_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or  $\text{Ar}^1$ ;
- f)  $\text{R}_3$  and  $\text{R}_4$  are each independently halogen,  $-\text{OC}(\text{O})\text{R}_1$ ,  $-\text{COOR}_1$ ,  $-\text{CONR}_1\text{R}_2$ , CN,  $-\text{NR}_1$ ,  $-\text{NR}_1\text{R}_2$ ,  $-\text{SR}_1$ ,  $-\text{SO}_2\text{NHCN}$ ,  $\text{N}_3$ ,  $\text{C}_1$ - $\text{C}_6$  straight or branched chain alkyl or  $\text{C}_1$ - $\text{C}_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate,  $\text{Ar}^1$ ,  $-\text{OC}(\text{O})\text{R}_1$ ,  $-\text{COOR}_1$ ,  $-\text{CONR}_1\text{R}_2$ , CN,  $-\text{NR}_1$ ,  $-\text{NR}_1\text{R}_2$ ,  $-\text{SR}_1$ ,  $-\text{SO}_2\text{NHCN}$ , or  $\text{N}_3$ ; and
- g)  $\text{Ar}^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $\text{C}_1$ - $\text{C}_6$  straight or branched chain alkyl or alkenyl,  $\text{C}_1$ - $\text{C}_4$  alkoxy,  $\text{C}_1$ - $\text{C}_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

- (8) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a) Z is O or NH;

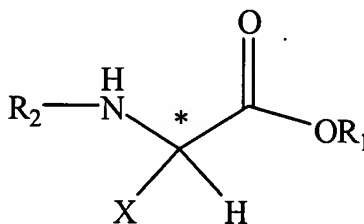
- b)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ , or  $C_1$ - $C_4$  alkoxycarbonylmethyl;
- c) X, Y, independently of one another, are H,  $Ar^1$ ,  $C_1$ - $C_6$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_1$ - $C_6$  haloalkyl, or halogen,

wherein said  $C_1$ - $C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1$ - $C_3$  alkyl once or several times and

when X and Y are each carbon, they may be covalently joined to form a saturated or partially unsaturated cyclic compound of 3-8 members consisting independently of C, N, O, and S, further wherein ring members may themselves be unsubstituted or substituted with halo, hydroxyl, carboxy, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, substituted alkyl,  $Ar^1$ , or a combination thereof;

- d)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl; and
- e)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

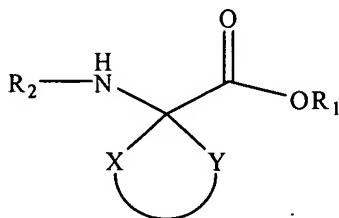
- (9) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a) \* = asymmetric center;
- b)  $R^1$  =  $C_1$ - $C_6$  alkyl,  $Ar^1$ , or  $C_1$ - $C_4$  alkoxy carbonylmethyl;
- c) X is H,  $C_1$ - $C_6$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_1$ - $C_6$  haloalkyl, halogen, or  $Ar^1$ , wherein said  $C_1$ - $C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1$ - $C_3$  alkyl once or several times;
- d)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl;
- e)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

- (10) a compound represented by the structure:

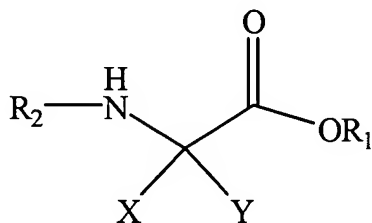


or pharmaceutically acceptable salts thereof, wherein:

- a) X and Y are each carbon;
- b) X and Y are connected by a saturated or partially saturated ring of 3-8 carbons and such a ring may itself be substituted in one to five position(s) with halo, hydroxyl, carboxy, amino, nitro, cyano, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, or substituted alkyl groups;

- c)  $R^1 = C_1-C_6$  alkyl,  $Ar^1$ , or  $C_1-C_4$  alkoxy carbonylmethyl;
- d)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl; and
- e)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1-C_6$  straight or branched chain alkyl or alkenyl,  $C_1-C_4$  alkoxy,  $C_1-C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

- (11) a compound represented by the structure:



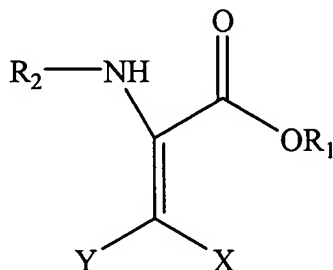
or pharmaceutically acceptable salts thereof, wherein:

- a) X, Y, independently of one another, are H,  $Ar^1$ ,  $C_1-C_6$  alkyl,  $C_2-C_6$  alkenyl,  $C_1-C_6$  haloalkyl, or halogen, wherein said  $C_1-C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1-C_3$  alkyl once or several times;
- b)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl; and
- c)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1-C_6$  straight or branched chain alkyl or alkenyl,  $C_1-C_4$  alkoxy,  $C_1-C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and



wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof; and

(12) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a)  $R^1 = C_1-C_6$  alkyl,  $Ar^1$ , or  $C_1-C_4$  alkoxy carbonylmethyl;
- b)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl;
- c) Y is H,  $Ar^1$ ,  $C_1-C_6$  alkyl,  $C_2-C_6$  alkenyl,  $C_1-C_6$  haloalkyl, or halogen, wherein said  $C_1-C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1-C_3$  alkyl once or several times; and
- d) X is alkyl or phenyl.

35 (New). The method according to claim 33, wherein the compound is selected from the group consisting of:

- i. 2-oxo-3-pentynoate;
- ii. aminoguanidine or salts thereof;
- iii. benzoic acid;
- iv. sodium benzoate;
- v. 2-aminobenzoate;
- vi. 3-aminobenzoate;
- vii. 4-aminobenzoate;
- viii. methylglyoxal bis(guanyldrazone);

- ix. methylglyoxal bis(guanyldihydrazone) dihydrochloride;
- x. phenylglyoxal bis(guanyldihydrazone) (PhGBG);
- xi. glyoxal bis(guanyldihydrazone);
- xiii. 3-indole-acetic acid;
- xiv. indole-3-acetic acid;
- xv. indole-3-acetone;
- xvi. indole-3-acetamide;
- xvii. indole-3-acetyl-L-aspartic acid;
- xviii. indole-3-acetyl-L-alanine;
- xix. indole-3-acetyl-glycine;
- xx. indole-3-acetaldehyde sodium bisulfite;
- xxi. indole-3-carboxylic acid;
- xxii. indole-3-pyruvic acid;
- xxiii. salicylic acid;
- xxiv. salicylic acid sodium salts;
- xxv. salicylic acid potassium salts;
- xxvi. dansyl chloride;
- xxvii. dansyl fluoride;
- xxviii. dansyl glycine;
- xxix. alanine tetrazole;
- xxx. benzoic tetrazole;
- xxxi. tetrazole;
- xxxii. riboflavin 5'-pyrophosphate;
- xxxiii. D, L-propargylglycine;
- xxxiv. L-C-propargylglycine;
- xxxv. N-acetyl-DL-propargylglycine;
- xxxvi. ( $\pm$ )-sodium 3-hydroxybutyrate;
- xxxvii. trigonelline hydrochloride;
- xxxviii. N-methylnicotinate;

- xxxix. methyl 6-methylnicotinate;
- xl. ethyl 2-methylnicotinate;
- xli. kojic acid;
- xlii. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;
- xlili. O-(2,4-dinitrophenyl)hydroxylamine;
- xliv. 2,4-dinitrophenyl glycine;
- xlv. hydroxylamine hydrochloride;
- xlvi. methyl-p-nitrobenzenesulfonate;
- xlvi. aminoethylcysteine-ketimine;
- xlvi. 1,4-thiazine derivatives;
- xlvi. 4-phenyl-1,4-sulfonazan;
- l. phenothiazine;
- li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;
- lii. nifurtimox;
- liii. 3-(1-pyrrolidinylmethyl)-4-(5,6-dichloro-1-indanecarbonyl)-tetrahydro-1,4-thiazine hydrochloride;
- liv. ketimine reduced forms;
- lv. cystathionine;
- lvi. cystathionine ketimine;
- lvii. lantionine ketimine;
- lviii. thiomorpholine-2-carboxylic acid;
- lix. thiomorpholine-2,6-dicarboxylic acid;
- lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);
- lxi. 1-chloro-1-nitroethane;
- lxii. anthranilate;
- lxiii. ethyl 2-aminobenzoate;
- lxiv. methyl 2-aminobenzoate;
- lxv. picolinate;

- lxvi. ethyl picolinate;
- lxvii. L-leucine methyl ester hydrochloride;
- lxviii. L-leucine;
- lxix. flurodinitrobenzene;
- lxx. dinitrochlorobenzene;
- lxxi. 1,2-cyclohexanedione;
- lxxii. allyglycine;
- lxxiii. 2-amino-2,4-pentadienoate;
- lxxiv. 2-hydroxy-2,4-pentadienoate;
- lxxv. 2-amino-4-keto-2-pentenoate;
- lxxvi. 2-hydroxybutyrate;
- lxxvii. sodium 2-hydroxybutyrate;
- lxxviii. N-chloro-D-leucine;
- lxxix. N-acetyl-D-leucine;
- lxxx. D-2-amino-4-methylpentanoic acid;
- lxxxi. D, L-propargylglycine;
- lxxxii. progesterone;
- lxxxiii. FAD (flavin adenine dinucleotide);
- lxxxiv. 6-OH-FAD;
- lxxxv. phenylglyoxal;
- lxxxvi. phenylglyoxal monohydrate;
- lxxxvii. cyclothionine;
- lxxxviii. alpha-alpha'-iminodipropionic;
- lxxxix. meso-diaminosuccinic acid;
- xc. thiosemicarbazide;
- xc. thiourea;
- xcii. methylthiouracil;
- xciii. sulphathiazole;
- xciv. sulfathiazole Salt;

- xcv. thiocyanate;
- xcvi. 3-methylbenzyl thiocyanate;
- xcvii. methimazole;
- xcviii. dicarboxylic hydroxyacids;
- xcix. 1,3-acetonedicarboxylic acid;
- c. D-tartaric acid;
- ci. L-tartaric acid;
- cii. D, L-tartaric acid;
- ciii. potassium tartarate;
- civ. D-malic acid;
- cv. L-malic acid;
- cvi. D, L-malic acid;
- cvii. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylalanine, phenylglycine, tyrosine, serine, aspartate, and salts thereof;
- cviii. pyruvic acid;
- cix. sodium pyruvate;
- cx. pyruvic acid methyl ester;
- cxi. phenylpyruvic acid;
- cxii. calcium phenylpyruvate;
- cxiii. phenylpyruvic acid sodium salt;
- cxiv. 4-hydroxyphenyl pyruvic acid;
- cxv. sodium alpha-ketoisovaleric acid;
- cxvi. benzoylformic acid);
- cxvii. 4-methylthio-2-oxopentanoic acid;
- cxviii. 4-methyl-2-oxopentanoic acid;
- cxix. 4-methylthio-2-oxybutanoic acid;
- cxx. 2-oxybutanoic acid;
- cxxi. D, L-alpha-hydroxybutyric acid sodium salt;
- cxxii. indole-3-pyruvic acid;

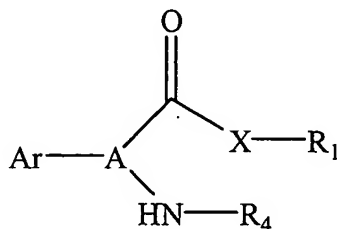
- cxxiii. cysteamine;
- cxxiv. pantetheine;
- cxxv. S-adenosylmethionine;
- cxxvi. ethyl bromopyruvate;
- cxxvii. methyl bromopyruvate;
- cxxviii. bromopyruvate; and
- cxxix. 5-S-cysteinyl dopamine.

36 (New). The method according to claim 33, wherein said compound is selected from the group consisting of benzoate, aminoethylcysteine-ketimine; aminoethylcysteine (thialysine); cysteamine; pathetheine; cystathionine S-adenosylmethionine, and derivatives thereof.

37 (New). A method of reducing the activity of a D-amino acid oxidase polypeptide (DAO) or a D-aspartate oxidase (DDO) polypeptide comprising the administration of a composition comprising a carrier and a compound that reduces the activity of said polypeptide.

38 (New). The method according to claim 37, wherein said compound is selected from the group consisting of:

- (1) a compound represented by the structure:

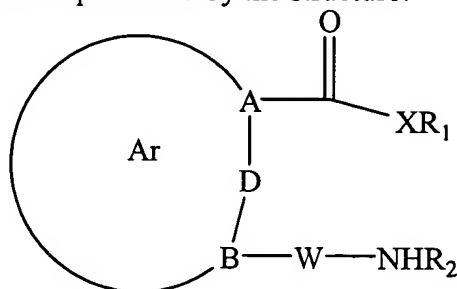


or pharmaceutically acceptable salts thereof, wherein:

- a) A is alkyl; branched chain alkyl; or cycloalkyl, any of which can be substituted with C<sub>1</sub>-C<sub>6</sub> alkyl, halo, hydroxyl or amino;

- b) X is O or N;
- c) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to five position(s) with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub> COR<sub>3</sub>, --NR<sub>3</sub> COOR<sub>3</sub>, --SO<sub>2</sub> NR<sub>2</sub> R<sub>3</sub>, --N(R<sub>2</sub>) SO<sub>2</sub> R<sub>3</sub>, --NR<sub>2</sub> CONR<sub>2</sub> R<sub>2</sub>, --SO<sub>2</sub> NHCOR<sub>2</sub>, --CONHSO<sub>2</sub> R<sub>2</sub>, --SO<sub>2</sub> NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, N<sub>3</sub> or a combination thereof and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;
- d) R<sub>4</sub> is H, alkyl, Ar<sup>1</sup>, O, or a substituted alkyl;
- e) R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylmethyl, or a substituted alkyl;
- f) R<sub>2</sub> and R<sub>3</sub> are each independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (2) a compound represented by the structure:

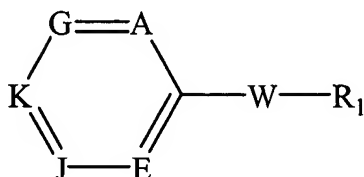


or pharmaceutically acceptable salts thereof, wherein:

- a) A and B are carbon or nitrogen and D has 0-2 members that are carbon or nitrogen;
- b) W is  $(\text{CH}_2)_n$  or a branched chain alkyl, wherein n is 0-4 and when n=0 NHR<sub>2</sub> is covalently bound to B;
- c) X is O or N;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e) R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylmethyl, or substituted alkyl;
- f) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to six position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;



- (3) a compound represented by the structure:

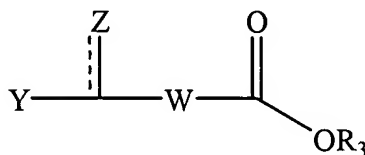


or pharmaceutically acceptable salts thereof, wherein:

- a) A, G, K, J, E are members of a six membered carbon or heterocyclic aromatic ring, wherein the heterocyclic ring contains 1-6 atom(s) selected from the group consisting of C, N and a combination thereof;
- b) A, G, K, J, E may each independently be unsubstituted or substituted with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- c) R<sub>1</sub> is CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, SCN, COCO<sub>2</sub>H, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- d) W is N, (CH<sub>2</sub>)<sub>x</sub>, or -NCH<sub>2</sub>;
- e) x=0-4;
- f) n=0-2;

- g)  $R_2$  and  $R_3$  are each, independently, hydrogen,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl, or  $C_1$ - $C_6$  branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate,  $Ar^1$ , or  $N_3$ ; and
- h)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (4) a compound represented by the structure:

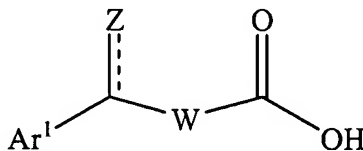


or pharmaceutically acceptable salts thereof, wherein:

- a)  $W = (CH_2)_n$ ;
- b)  $n = 0-5$ ;
- c) Z is oxygen or hydroxyl;
- d)  $Y = H, Ar^1, R_4, (CH_2)_x, R_1S(CH_2)_x, R_1SO(CH_2)_x, R_1SO_2(CH_2)_x, R_1SO_3(CH_2)_x, HNR_1SO_2(CH_2)_x, R_1R_2N(CH_2)_x, R_1O(CH_2)_x, CF_3$ , or OH;
- e)  $x = 0-6$ ;
- f)  $R_1, R_2$  and  $R_3$  are each independently hydrogen,  $C_1$ - $C_6$  straight or branched chain alkyl or  $C_1$ - $C_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or  $Ar^1$ ;

- g)  $R_4$  is a halogen, CN,  $N_3$ ,  $C_1$ - $C_6$  straight or branched chain alkyl or  $C_1$ - $C_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, phosphate,  $Ar^1$ ,  $--COR_1$ ,  $--COOR_1$ ,  $CONR_1R_2$ , CN,  $--NR_1$ ,  $--NR_1R_2$ ,  $--SR_1$ ,  $--SO_2NHCN$ , or  $N_3$ ; and
- h)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

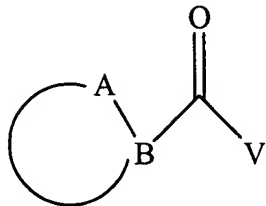
- (5) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a)  $Y$  is  $Ar^1$ ;
- b)  $Z$  is a carbonyl or hydroxyl;
- c)  $W$  is  $(CH_2)_n$  wherein  $n = 0, 1$ , or  $2$ ; and
- d)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

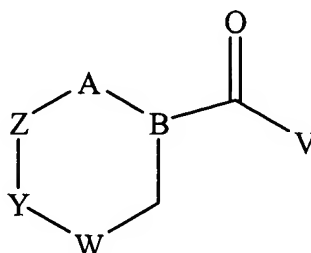
- (6) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a) A and B taken together, form a 5-8 membered saturated or partially unsaturated heterocyclic ring containing at least one additional O, S, SO, SO<sub>2</sub>, NH, or NR<sup>1</sup> heteroatom in any chemically stable oxidation state;
- b) V is O, OR<sub>1</sub>, NR<sub>2</sub>, NR<sub>1</sub>R<sub>2</sub>, CHR<sub>1</sub>R<sub>2</sub>, CH<sub>2</sub>R<sub>3</sub>, CHR<sub>3</sub>R<sub>4</sub>, or CH<sub>2</sub>N<sub>3</sub>;
- c) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, or Ar<sup>1</sup>;
- d) R<sub>3</sub> and R<sub>4</sub> are either halogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, CONR<sub>1</sub>R<sub>2</sub>, CN, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, SR<sub>1</sub>, SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (7) a compound represented by the structure:

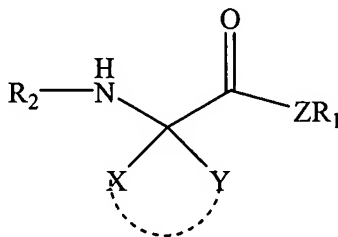


or pharmaceutically acceptable salts thereof, wherein:

- a) W-Y-Z-A-B comprise a six membered saturated or partially saturated carbocyclic or heterocyclic ring, wherein the heterocyclic ring contains heteroatom(s) selected from the group consisting of -O, N, S, and any combination thereof;
- b) B is either C, CH, or N;
- c) A, W, Y, Z are each independently CH<sub>2</sub>, CHR<sub>3</sub>, CR<sub>3</sub>R<sub>4</sub>, O, S, SO, SO<sub>2</sub>, NH, NR<sub>1</sub>, NR<sub>1</sub>R<sub>2</sub>, or C=O;
- d) V is O, OR<sub>1</sub>, NR<sub>2</sub>, NR<sub>1</sub>R<sub>2</sub>, CHR<sub>1</sub>R<sub>2</sub>, CH<sub>2</sub>R<sub>3</sub>, CHR<sub>3</sub>R<sub>3</sub> or CH<sub>2</sub>N<sub>3</sub>;
- e) R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or Ar<sup>1</sup>;
- f) R<sub>3</sub> and R<sub>4</sub> are each independently halogen, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, --CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, N<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, Ar<sup>1</sup>, --OC(O)R<sub>1</sub>, --COOR<sub>1</sub>, --CONR<sub>1</sub>R<sub>2</sub>, CN, --NR<sub>1</sub>, --NR<sub>1</sub>R<sub>2</sub>, --SR<sub>1</sub>, --SO<sub>2</sub>NHCN, or N<sub>3</sub>; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a

combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

- (8) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a) Z is O or NH;
- b) R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, Ar<sup>1</sup>, or C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylmethyl;
- c) X, Y, independently of one another, are H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen,

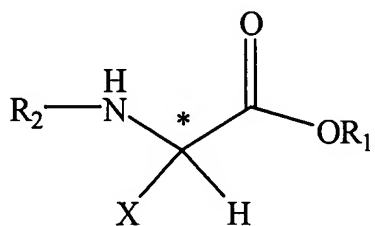
wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times and

when X and Y are each carbon, they may be covalently joined to form a saturated or partially unsaturated cyclic compound of 3-8 members consisting independently of C, N, O, and S, further wherein ring members may themselves be unsubstituted or substituted with halo, hydroxyl, carboxy, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, substituted alkyl, Ar<sup>1</sup>, or a combination thereof;

- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo,

hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

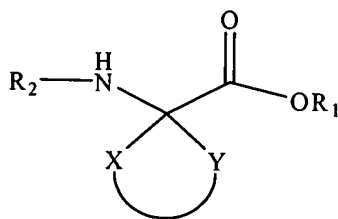
- (9) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a) \* = asymmetric center;
- b) R<sup>1</sup> = C<sub>1</sub>-C<sub>6</sub> alkyl, Ar<sup>1</sup>, or C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylmethyl;
- c) X is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, or Ar<sup>1</sup>, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

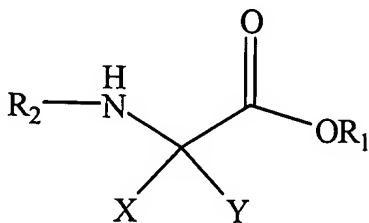
(10) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a) X and Y are each carbon;
- b) X and Y are connected by a saturated or partially saturated ring of 3-8 carbons and such a ring may itself be substituted in one to five position(s) with halo, hydroxyl, carboxy, amino, nitro, cyano, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, or substituted alkyl groups;
- c) R<sup>1</sup> = C<sub>1</sub>-C<sub>6</sub> alkyl, Ar<sup>1</sup>, or C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylmethyl;
- d) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- e) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

(11) a compound represented by the structure:

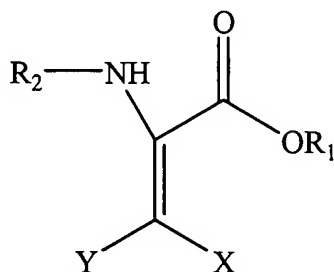




or pharmaceutically acceptable salts thereof, wherein:

- a) X, Y, independently of one another, are H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times;
- b) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl; and
- c) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof; and

- (12) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a) R<sup>1</sup> = C<sub>1</sub>-C<sub>6</sub> alkyl, Ar<sup>1</sup>, or C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylmethyl;
- b) R<sub>2</sub> is H, alkyl, Ar<sup>1</sup>, or O substituted alkyl;
- c) Y is H, Ar<sup>1</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, or halogen, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl is optionally interrupted or substituted by heteroatoms

selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl once or several times; and

d) X is alkyl or phenyl;

and wherein said polypeptide sequence selected from the group consisting of SEQ ID NOS: 7 to 10, 21 and 22.

39 (New). The method according to claim 37, wherein the compound is selected from the group consisting of:

- i. 2-oxo-3pentynoate;
- ii. aminoguanidine or salts thereof;
- iii. benzoic acid;
- iv. sodium benzoate;
- v. 2-aminobenzoate;
- vi. 3-aminobenzoate;
- vii. 4-aminobenzoate;
- viii. methylglyoxal bis(guanylhydrazone);
- ix. methylglyoxal bis(guanylhydrazone) dihydrochloride;
- x. phenylglyoxal bis(guanylhydrazone) (PhGBG);
- xi. glyoxal bis(guanylhydrazone);
- xiii. 3-indole-acetic acid;
- xiv. indole-3-acetic acid;
- xv. indole-3-acetone;
- xvi. indole-3-acetamide;
- xvii. indole-3-acetyl-L-aspartic acid;
- xviii. indole-3-acetyl-L-alanine;
- xix. indole-3-acetyl-glycine;
- xx. indole-3-acetaldehyde sodium bisulfite;
- xxi. indole-3-carboxylic acid;

- xxii. indole-3-pyruvic acid;
- xxiii. salicylic acid;
- xxiv. salicylic acid sodium salts;
- xxv. salicylic acid potassium salts;
- xxvi. dansyl chloride;
- xxvii. dansyl fluoride;
- xxviii. dansyl glycine;
- xxix. alanine tetrazole;
- xxx. benzoic tetrazole;
- xxxi. tetrazole;
- xxxii. riboflavin 5'-pyrophosphate;
- xxxiii. D, L-propargylglycine;
- xxxiv. L-C-propargylglycine;
- xxxv. N-acetyl-DL-propargylglycine;
- xxxvi. ( $\pm$ )-sodium 3-hydroxybutyrate;
- xxxvii. trigonelline hydrochloride;
- xxxviii. N-methylnicotinate;
- xxxix. methyl 6-methylnicotinate;
- xl. ethyl 2-methylnicotinate;
- xli. kojic acid;
- xlii. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;
- xlili. O-(2,4-dinitrophenyl)hydroxylamine;
- xliv. 2,4-dinitrophenyl glycine;
- xlvi. hydroxylamine hydrochloride;
- xlvi. methyl-p-nitrobenzenesulfonate;
- xlvi. aminoethylcysteine-ketimine;
- xlvi. 1,4-thiazine derivatives;
- xlix. 4-phenyl-1,4-sulfonazan;

- l. phenothiazine;
- li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;
- lii. nifurtimox;
- liii. 3-(1-pyrrolidinylmethyl)-4-(5,6-dichloro-1-indanecarbonyl)-tetrahydro-1,4-thiazine hydrochloride;
- liv. ketimine reduced forms;
- lv. cystathionine;
- lvi. cystathionine ketimine;
- lix. lanthionine ketimine;
- lx. thiomorpholine-2-carboxylic acid;
- lix. thiomorpholine-2,6-dicarboxylic acid;
- lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);
- lxi. 1-chloro-1-nitroethane;
- lxii. anthranilate;
- lxiii. ethyl 2-aminobenzoate;
- lxiv. methyl 2-aminobenzoate;
- lxv. picolinate;
- lxvi. ethyl picolinate;
- lxvii. L-leucine methyl ester hydrochloride;
- lxviii. L-leucine;
- lxix. fluordinitrobenzene;
- lxx. dinitrochlorobenzene;
- lxxi. 1,2-cyclohexanedione;
- lxxii. allylglycine;
- lxxiii. 2-amino-2,4-pentadienoate;
- lxxiv. 2-hydroxy-2,4-pentadienoate;
- lxxv. 2-amino-4-keto-2-pentenoate;
- lxxvi. 2-hydroxybutyrate;
- lxxvii. sodium 2-hydroxybutyrate;

- lxxviii. N-chloro-D-leucine;
- lxxix. N-acetyl-D-leucine;
- lxxx. D-2-amino-4-methylpentanoic acid;
- lxxxi. D, L-propargylglycine;
- lxxxii. progesterone;
- lxxxiii. FAD (flavin adenine dinucleotide);
- lxxxiv. 6-OH-FAD;
- lxxxv. phenylglyoxal;
- lxxxvi. phenylglyoxal monohydrate;
- lxxxvii. cyclothionine;
- lxxxviii. alpha-alpha'-iminodipropionic;
- lxxxix. meso-diaminosuccinic acid;
- xc. thiosemicarbazide;
- xc. thiourea;
- xcii. methylthiouracil;
- xciii. sulphathiazole;
- xciv. sulfathiazole Salt;
- xcv. thiocyanate;
- xcvi. 3-methylbenzyl thiocyanate;
- xcvii. methimazole;
- xcviii. dicarboxylic hydroxyacids;
- xcix. 1,3-acetonedicarboxylic acid;
- c. D-tartaric acid;
- ci. L-tartaric acid;
- cii. D, L-tartaric acid;
- ciii. potassium tartarate;
- civ. D-malic acid;
- cv. L-malic acid;
- cvi. D, L-malic acid;

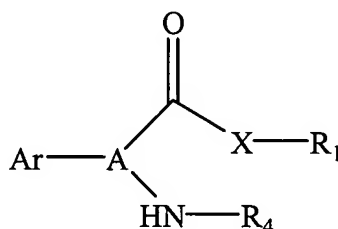
- cvii. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylalanine, phenylglycine, tyrosine, serine, aspartate, and salts thereof;
- cviii. pyruvic acid;
- cix. sodium pyruvate;
- cx. pyruvic acid methyl ester;
- cx. phenylpyruvic acid;
- cxii. calcium phenylpyruvate;
- cxiii. phenylpyruvic acid sodium salt;
- cxiv. 4-hydroxyphenyl pyruvic acid;
- cxv. sodium alpha-ketoisovaleric acid;
- cxvi. benzoylformic acid);
- cxvii. 4-methylthio-2-oxopentanoic acid;
- cxviii. 4-methyl-2-oxopentanoic acid;
- cxix. 4-methylthio-2-oxybutanoic acid;
- cxx. 2-oxybutanoic acid;
- cxxi. D, L-alpha-hydroxybutyric acid sodium salt;
- cxxii. indole-3-pyruvic acid;
- cxxiii. cysteamine;
- cxxiv. pantetheine;
- cxxv. S-adenosylmethionine;
- cxxvi. ethyl bromopyruvate;
- cxxvii. methyl bromopyruvate;
- cxxviii. bromopyruvate; and
- cxxix. 5-S-cysteinyldopamine.

40 (New). The method according to claim 37, wherein said compound is capable of reducing the oxidation or degradation of D-serine.

41 (New). A method of treating an individual suffering from schizophrenia, depression or bipolar disorder comprising administering to said individual a therapeutically effective amount of a composition that reduces the activity of a DAO or DDO polypeptide.

42 (New). The method according to claim 41, wherein the compound is selected from the group consisting of:

- (1) a compound represented by the structure:

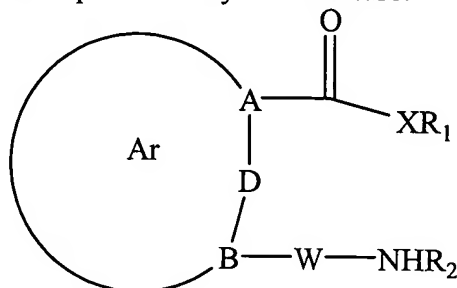


or pharmaceutically acceptable salts thereof, wherein:

- a) A is alkyl; branched chain alkyl; or cycloalkyl, any of which can be substituted with C<sub>1</sub>-C<sub>6</sub> alkyl, halo, hydroxyl or amino;
- b) X is O or N;
- c) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to five position(s) with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub> COR<sub>3</sub>, --NR<sub>3</sub> COOR<sub>3</sub>, --SO<sub>2</sub> NR<sub>2</sub> R<sub>3</sub>, --N(R<sub>2</sub>) SO<sub>2</sub> R<sub>3</sub>, --NR<sub>2</sub> CONR<sub>2</sub> R<sub>2</sub>, --SO<sub>2</sub> NHCOR<sub>2</sub>, --CONHSO<sub>2</sub> R<sub>2</sub>, --SO<sub>2</sub> NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, N<sub>3</sub> or a combination thereof and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- d)  $R_4$  is H, alkyl,  $Ar^1$ , O, or a substituted alkyl;
- e)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ ,  $C_1$ - $C_4$  alkoxy carbonylmethyl, or a substituted alkyl;
- f)  $R_2$  and  $R_3$  are each independently, hydrogen,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl, or  $C_1$ - $C_6$  branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate,  $Ar^1$ , or  $N_3$ ; and
- g)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (2) a compound represented by the structure:



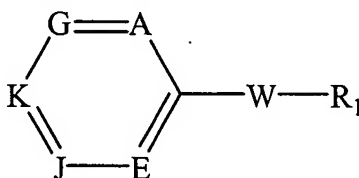
or pharmaceutically acceptable salts thereof, wherein:

- a) A and B are carbon or nitrogen and D has 0-2 members that are carbon or nitrogen;
- b) W is  $(CH_2)_n$  or a branched chain alkyl, wherein n is 0-4 and when n=0  $NHR_2$  is covalently bound to B;
- c) X is O or N;
- d)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl;
- e)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ ,  $C_1$ - $C_4$  alkoxy carbonylmethyl, or substituted alkyl;



- f) Ar is an aromatic mono-, bi- or tricyclic fused heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to six position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof; and
- g) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, C<sub>3</sub>-C<sub>6</sub> cycloalkyl or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (3) a compound represented by the structure:

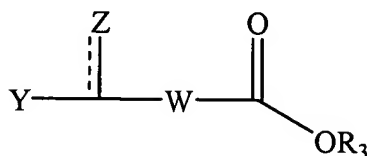


or pharmaceutically acceptable salts thereof, wherein:

- a) A, G, K, J, E are members of a six membered carbon or heterocyclic aromatic ring, wherein the heterocyclic ring contains 1-6 atom(s) selected from the group consisting of C, N and a combination thereof:
- b) A, G, K, J, E may each independently be unsubstituted or substituted with hydrogen, halogen, hydroxyl, -CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, --OR<sub>1</sub>, C<sub>1</sub>-C<sub>6</sub>

- straight or branched chain alkyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more, halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- c) R<sub>1</sub> is CN, COR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --S(O)<sub>n</sub>R<sub>2</sub>, --OPO(OR<sub>2</sub>)OR<sub>3</sub>, --PO(OR<sub>3</sub>)R<sub>3</sub>, --OC(O)NR<sub>2</sub>R<sub>3</sub>, --COOR<sub>2</sub>, --CONR<sub>2</sub>R<sub>3</sub>, --SO<sub>3</sub>H, --NR<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>COR<sub>3</sub>, --NR<sub>3</sub>COOR<sub>3</sub>, --SO<sub>2</sub>NR<sub>2</sub>R<sub>3</sub>, --N(R<sub>2</sub>)SO<sub>2</sub>R<sub>3</sub>, --NR<sub>2</sub>CONR<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCOR<sub>2</sub>, --CONHSO<sub>2</sub>R<sub>2</sub>, --SO<sub>2</sub>NHCN, SCN, COCO<sub>2</sub>H, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>;
- d) W is N, (CH<sub>2</sub>)<sub>x</sub>, or -NCH<sub>2</sub>;
- e) x=0-4;
- f) n=0-2;
- g) R<sub>2</sub> and R<sub>3</sub> are each, independently, hydrogen, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, or C<sub>1</sub>-C<sub>6</sub> branched or straight chain alkyl or alkenyl which is substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, Ar<sup>1</sup>, or N<sub>3</sub>; and
- h) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

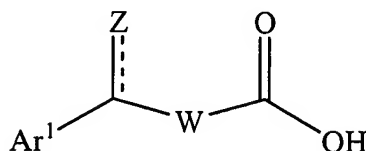
- (4) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a)  $\text{W} = (\text{CH}_2)_n$ ;
- b)  $n = 0-5$ ;
- c) Z is oxygen or hydroxyl;
- d)  $\text{Y} = \text{H}, \text{Ar}^1, \text{R}_4, (\text{CH}_2)_x, \text{R}_1\text{S}(\text{CH}_2)_x, \text{R}_1\text{SO}(\text{CH}_2)_x, \text{R}_1\text{SO}_2(\text{CH}_2)_x, \text{R}_1\text{SO}_3(\text{CH}_2)_x, \text{HNR}_1\text{SO}_2(\text{CH}_2)_x, \text{R}_1\text{R}_2\text{N}(\text{CH}_2)_x, \text{R}_1\text{O}(\text{CH}_2)_x, \text{CF}_3, \text{or OH}$ ;
- e)  $x = 0-6$ ;
- f)  $\text{R}_1, \text{R}_2$  and  $\text{R}_3$  are each independently hydrogen,  $\text{C}_1\text{-C}_6$  straight or branched chain alkyl or  $\text{C}_1\text{-C}_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or  $\text{Ar}^1$ ;
- g)  $\text{R}_4$  is a halogen,  $\text{CN}, \text{N}_3, \text{C}_1\text{-C}_6$  straight or branched chain alkyl or  $\text{C}_1\text{-C}_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate, phosphate,  $\text{Ar}^1, -\text{COR}_1, -\text{COOR}_1, \text{CONR}_1\text{R}_2, \text{CN}, -\text{NR}_1, -\text{NR}_1\text{R}_2, -\text{SR}_1, -\text{SO}_2\text{NHCN}$ , or  $\text{N}_3$ ; and
- h)  $\text{Ar}^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $\text{C}_1\text{-C}_6$  straight or branched chain alkyl or alkenyl,  $\text{C}_1\text{-C}_4$  alkoxy,  $\text{C}_1\text{-C}_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

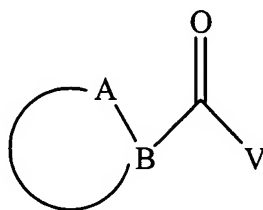
- (5) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a) Y is Ar<sup>1</sup>;
- b) Z is a carbonyl or hydroxyl;
- c) W is (CH<sub>2</sub>)<sub>n</sub> wherein n = 0, 1, or 2; and
- d) Ar<sup>1</sup> is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl, C<sub>1</sub>-C<sub>6</sub> straight or branched chain alkyl or alkenyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (6) a compound represented by the structure:

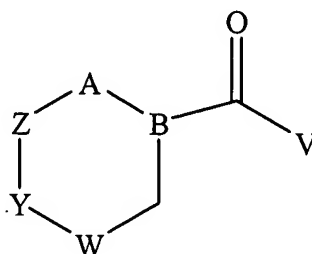


or pharmaceutically acceptable salts thereof, wherein:

- a) A and B taken together, form a 5-8 membered saturated or partially unsaturated heterocyclic ring containing at least one additional O, S, SO, SO<sub>2</sub>, NH, or NR<sup>1</sup> heteroatom in any chemically stable oxidation state;
- b) V is O, OR<sub>1</sub>, NR<sub>2</sub>, NR<sub>1</sub>R<sub>2</sub>, CHR<sub>1</sub>R<sub>2</sub>, CH<sub>2</sub>R<sub>3</sub>, CHR<sub>3</sub>R<sub>4</sub>, or CH<sub>2</sub>N<sub>3</sub>;

- c)  $R_1$  and  $R_2$  are independently hydrogen,  $C_1$ - $C_6$  straight or branched chain alkyl or  $C_1$ - $C_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, or  $Ar^1$ ;
- d)  $R_3$  and  $R_4$  are either halogen,  $C_1$ - $C_6$  straight or branched chain alkyl or  $C_1$ - $C_6$  branched or straight chain alkyl substituted with one or more hydroxyl, amino, carboxy, carboxamide, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate,  $Ar^1$ ,  $--OC(O)R_1$ ,  $--COOR_1$ ,  $CONR_1R_2$ ,  $CN$ ,  $NR_1$ ,  $NR_1R_2$ ,  $SR_1$ ,  $SO_2NHCN$ , or  $N_3$ ; and
- e)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and a combination thereof;

- (7) a compound represented by the structure:

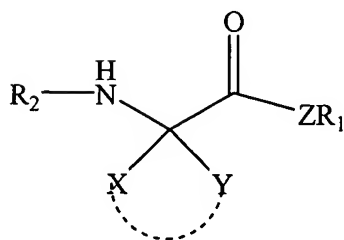


or pharmaceutically acceptable salts thereof, wherein:

- a) W-Y-Z-A-B comprise a six membered saturated or partially saturated carbocyclic or heterocyclic ring, wherein the heterocyclic ring contains heteroatom(s) selected from the group consisting of -O, N, S, and any combination thereof;
- b) B is either C, CH, or N;

- c) A, W, Y, Z are each independently  $\text{CH}_2$ ,  $\text{CHR}_3$ ,  $\text{CR}_3\text{R}_4$ , O, S, SO,  $\text{SO}_2$ , NH,  $\text{NR}_1$ ,  $\text{NR}_1\text{R}_2$ , or  $\text{C}=\text{O}$ ;
- d) V is O,  $\text{OR}_1$ ,  $\text{NR}_2$ ,  $\text{NR}_1\text{R}_2$ ,  $\text{CHR}_1\text{R}_2$ ,  $\text{CH}_2\text{R}_3$ ,  $\text{CHR}_3\text{R}_3$  or  $\text{CH}_2\text{N}_3$ ;
- e)  $\text{R}_1$  and  $\text{R}_2$  are independently hydrogen,  $\text{C}_1$ - $\text{C}_6$  straight or branched chain alkyl or  $\text{C}_1$ - $\text{C}_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, amino, carboxy, carboxamide, nitrile, nitro, alkoxy, trifluoromethyl, sulfur, sulfonate, phosphonate, phosphate, or  $\text{Ar}^1$ ;
- f)  $\text{R}_3$  and  $\text{R}_4$  are each independently halogen,  $-\text{OC}(\text{O})\text{R}_1$ ,  $-\text{COOR}_1$ ,  $-\text{CONR}_1\text{R}_2$ , CN,  $-\text{NR}_1$ ,  $-\text{NR}_1\text{R}_2$ ,  $-\text{SR}_1$ ,  $-\text{SO}_2\text{NHCN}$ ,  $\text{N}_3$ ,  $\text{C}_1$ - $\text{C}_6$  straight or branched chain alkyl or  $\text{C}_1$ - $\text{C}_6$  branched or straight chain alkyl substituted with one or more halogen, hydroxyl, nitro, alkoxy, trifluoromethyl, sulfonate, phosphonate,  $\text{Ar}^1$ ,  $-\text{OC}(\text{O})\text{R}_1$ ,  $-\text{COOR}_1$ ,  $-\text{CONR}_1\text{R}_2$ , CN,  $-\text{NR}_1$ ,  $-\text{NR}_1\text{R}_2$ ,  $-\text{SR}_1$ ,  $-\text{SO}_2\text{NHCN}$ , or  $\text{N}_3$ ; and
- g)  $\text{Ar}^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $\text{C}_1$ - $\text{C}_6$  straight or branched chain alkyl or alkenyl,  $\text{C}_1$ - $\text{C}_4$  alkoxy,  $\text{C}_1$ - $\text{C}_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 5-6 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

- (8) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a) Z is O or NH;

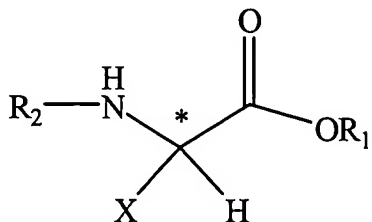
- b)  $R^1$  is  $C_1$ - $C_6$  alkyl,  $Ar^1$ , or  $C_1$ - $C_4$  alkoxycarbonylmethyl;
- c) X, Y, independently of one another, are H,  $Ar^1$ ,  $C_1$ - $C_6$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_1$ - $C_6$  haloalkyl, or halogen,

wherein said  $C_1$ - $C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1$ - $C_3$  alkyl once or several times and

when X and Y are each carbon, they may be covalently joined to form a saturated or partially unsaturated cyclic compound of 3-8 members consisting independently of C, N, O, and S, further wherein ring members may themselves be unsubstituted or substituted with halo, hydroxyl, carboxy, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, substituted alkyl,  $Ar^1$ , or a combination thereof;

- d)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl; and
- e)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

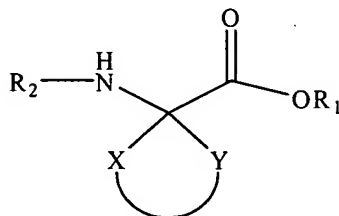
- (9) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a) \* = asymmetric center;
- b)  $R^1$  =  $C_1$ - $C_6$  alkyl,  $Ar^1$ , or  $C_1$ - $C_4$  alkoxy carbonylmethyl;
- c) X is H,  $C_1$ - $C_6$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_1$ - $C_6$  haloalkyl, halogen, or  $Ar^1$ , wherein said  $C_1$ - $C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1$ - $C_3$  alkyl once or several times;
- d)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl;
- e)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

- (10) a compound represented by the structure:



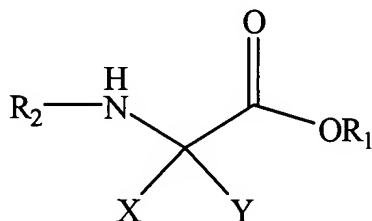
or pharmaceutically acceptable salts thereof, wherein:

- a) X and Y are each carbon;
- b) X and Y are connected by a saturated or partially saturated ring of 3-8 carbons and such a ring may itself be substituted in one to five position(s) with halo, hydroxyl, carboxy, amino, nitro, cyano, trifluoromethyl,  $C_1$ - $C_6$  straight or branched chain alkyl or alkenyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkenyloxy, or substituted alkyl groups;



- c)  $R^1 = C_1-C_6$  alkyl,  $Ar^1$ , or  $C_1-C_4$  alkoxycarbonylmethyl;
- d)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl; and
- e)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1-C_6$  straight or branched chain alkyl or alkenyl,  $C_1-C_4$  alkoxy,  $C_1-C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof;

- (11) a compound represented by the structure:

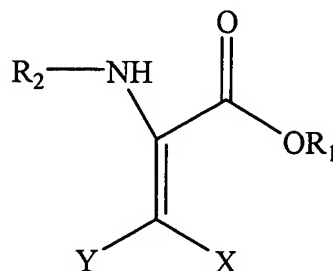


or pharmaceutically acceptable salts thereof, wherein:

- a) X, Y, independently of one another, are H,  $Ar^1$ ,  $C_1-C_6$  alkyl,  $C_2-C_6$  alkenyl,  $C_1-C_6$  haloalkyl, or halogen, wherein said  $C_1-C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1-C_3$  alkyl once or several times;
- b)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl; and
- c)  $Ar^1$  is a mono-, bi- or tricyclic, carbo- or heterocyclic ring, wherein the ring is either unsubstituted or substituted in one to three position(s) with halo, hydroxyl, nitro, trifluoromethyl,  $C_1-C_6$  straight or branched chain alkyl or alkenyl,  $C_1-C_4$  alkoxy,  $C_1-C_4$  alkenyloxy, phenoxy, benzyloxy, amino, or a combination thereof; wherein the individual ring sizes are 3-7 members; and

wherein the heterocyclic ring contains 1-6 heteroatom(s) selected from the group consisting of O, N, S, and any combination thereof; and

(12) a compound represented by the structure:



or pharmaceutically acceptable salts thereof, wherein:

- a)  $R^1 = C_1-C_6$  alkyl,  $Ar^1$ , or  $C_1-C_4$  alkoxy carbonylmethyl;
- b)  $R_2$  is H, alkyl,  $Ar^1$ , or O substituted alkyl;
- c) Y is H,  $Ar^1$ ,  $C_1-C_6$  alkyl,  $C_2-C_6$  alkenyl,  $C_1-C_6$  haloalkyl, or halogen, wherein said  $C_1-C_6$  alkyl is optionally interrupted or substituted by heteroatoms selected from the group consisting of N, P, O, S and Si and said heteroatoms are optionally substituted by  $C_1-C_3$  alkyl once or several times; and
- d) X is alkyl or phenyl.

43 (New). The method according to claim 41, wherein the compound is selected from the group consisting of:

- i. 2-oxo-3-pentynoate;
- ii. aminoguanidine or salts thereof;
- iii. benzoic acid;
- iv. sodium benzoate;
- v. 2-aminobenzoate;
- vi. 3-aminobenzoate;
- vii. 4-aminobenzoate;

- viii. methylglyoxal bis(guanylhydrazone);
- ix. methylglyoxal bis(guanylhydrazone) dihydrochloride;
- x. phenylglyoxal bis(guanylhydrazone) (PhGBG);
- xi. glyoxal bis(guanylhydrazone);
- xiii. 3-indole-acetic acid;
- xiv. indole-3-acetic acid;
- xv. indole-3-acetone;
- xvi. indole-3-acetamide;
- xvii. indole-3-acetyl-L-aspartic acid;
- xviii. indole-3-acetyl-L-alanine;
- xix. indole-3-acetyl-glycine;
- xx. indole-3-acetaldehyde sodium bisulfite;
- xxi. indole-3-carboxylic acid;
- xxii. indole-3-pyruvic acid;
- xxiii. salicylic acid;
- xxiv. salicylic acid sodium salts;
- xxv. salicylic acid potassium salts;
- xxvi. dansyl chloride;
- xxvii. dansyl fluoride;
- xxviii. dansyl glycine;
- xxix. alanine tetrazole;
- xxx. benzoic tetrazole;
- xxxi. tetrazole;
- xxxii. riboflavin 5'-pyrophosphate;
- xxxiii. D, L-propargylglycine;
- xxxiv. L-C-propargylglycine;
- xxxv. N-acetyl-DL-propargylglycine;
- xxxvi. ( $\pm$ )-sodium 3-hydroxybutyrate;
- xxxvii. trigonelline hydrochloride;

- xxxviii. N-methylnicotinate;
- xxxix. methyl 6-methylnicotinate;
- xl. ethyl 2-methylnicotinate;
- xli. kojic acid;
- xlii. 6-(pyrrolidinomethyl)-kojic acid hydrochloride, 6-(morpholinomethyl)-kojic acid, 6-(diethylaminomethyl)-kojic acid hydrochloride;
- xlili. O-(2,4-dinitrophenyl)hydroxylamine;
- xliv. 2,4-dinitrophenyl glycine;
- xlv. hydroxylamine hydrochloride;
- xlvi. methyl-p-nitrobenzenesulfonate;
- xlvi. aminoethylcysteine-ketimine;
- xlvi. 1,4-thiazine derivatives;
- xlix. 4-phenyl-1,4-sulfonazane;
- l. phenothiazine;
- li. 3,4-dihydro-2H-1,4-thiazine-3,5-dicarboxylic acid;
- lii. nifurtimox;
- liii. 3-(1-pyrrolidinylmethyl)-4-(5,6-dichloro-1-indanecarbonyl)-tetrahydro-1,4-thiazine hydrochloride;
- liv. ketimine reduced forms;
- lv. cystathionine;
- lvi. cystathionine ketimine;
- lxi. lantionine ketimine;
- lxii. thiomorpholine-2-carboxylic acid;
- lix. thiomorpholine-2,6-dicarboxylic acid;
- lx. TMDA (1,4-thiomorpholine-3,5-dicarboxylic acid);
- lxi. 1-chloro-1-nitroethane;
- lxii. anthranilate;
- lxiii. ethyl 2-aminobenzoate;
- lxiv. methyl 2-aminobenzoate;

- lxv. picolinate;
- lxvi. ethyl picolinate;
- lxvii. L-leucine methyl ester hydrochloride;
- lxviii. L-leucine;
- lxix. flurodinitrobenzene;
- lxx. dinitrochlorobenzene;
- lxxi. 1,2-cyclohexanedione;
- lxxii. allyglycine;
- lxxiii. 2-amino-2,4-pentadienoate;
- lxxiv. 2-hydroxy-2,4-pentadienoate;
- lxxv. 2-amino-4-keto-2-pentenoate;
- lxxvi. 2-hydroxybutyrate;
- lxxvii. sodium 2-hydroxybutyrate;
- lxxviii. N-chloro-D-leucine;
- lxxix. N-acetyl-D-leucine;
- lxxx. D-2-amino-4-methylpentanoic acid;
- lxxxi. D, L-propargylglycine;
- lxxxii. progesterone;
- lxxxiii. FAD (flavin adenine dinucleotide);
- lxxxiv. 6-OH-FAD;
- lxxxv. phenylglyoxal;
- lxxxvi. phenylglyoxal monohydrate;
- lxxxvii. cyclothionine;
- lxxxviii. alpha-alpha'-iminodipropionic;
- lxxxix. meso-diaminosuccinic acid;
- xc. thiosemicarbazide;
- xi. thiourea;
- xii. methylthiouracil;
- xiii. sulphathiazole;

- xciv. sulfathiazole Salt;
- xcv. thiocyanate;
- xcvi. 3-methylbenzyl thiocyanate;
- xcvii. methimazole;
- xcviii. dicarboxylic hydroxyacids;
- xcix. 1,3-acetonedicarboxylic acid;
- c. D-tartaric acid;
- ci. L-tartaric acid;
- cii. D, L-tartaric acid;
- ciii. potassium tartarate;
- civ. D-malic acid;
- cv. L-malic acid;
- cvi. D, L-malic acid;
- cvii. alpha-keto acids that are analogues of the amino acids alanine, leucine, phenylalanine, phenylglycine, tyrosine, serine, aspartate, and salts thereof;
- cviii. pyruvic acid;
- cix. sodium pyruvate;
- cx. pyruvic acid methyl ester;
- cxii. phenylpyruvic acid;
- cxiii. calcium phenylpyruvate;
- cxiiii. phenylpyruvic acid sodium salt;
- cxiv. 4-hydroxyphenyl pyruvic acid;
- cxv. sodium alpha-ketoisovaleric acid;
- cxvi. benzoylformic acid);
- cxvii. 4-methylthio-2-oxopentanoic acid;
- cxviii. 4-methyl-2-oxopentanoic acid;
- cxix. 4-methylthio-2-oxybutanoic acid;
- cxx. 2-oxybutanoic acid;
- cxxi. D, L-alpha-hydroxybutyric acid sodium salt;

- cxxii. indole-3-pyruvic acid;
- cxxiii. cysteamine;
- cxxiv. pantetheine;
- cxxv. S-adenosylmethionine;
- cxxvi. ethyl bromopyruvate;
- cxxvii. methyl bromopyruvate;
- cxxviii. bromopyruvate; and
- cxxix. 5-S-cysteinyldopamine.